Claims

1. A compound of formula (I)

$$B-C-A-X^{3}$$

$$X^{2}-X^{1}$$

$$X^{1}$$

$$Y^{1}$$

$$Y^{1}$$

$$Y^{2}$$

$$Y^{1}$$

$$Y^{2}$$

$$Y^{1}$$

$$Y^{2}$$

$$Y^{2}$$

$$Y^{2}$$

$$Y^{1}$$

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$$Y^{2}$$

$$Y^{3}$$

$$Y^{4}$$

$$Y^{2}$$

$$Y^{3}$$

$$Y^{4}$$

$$Y^{4}$$

$$Y^{4}$$

$$Y^{4}$$

$$Y^{5}$$

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the *N*-oxides, the pharmaceutically acceptable acid addition salts and the stereochemically isomeric forms thereof, wherein the dotted line is an optional bond and is absent when X^2 represents nitrogen; the radical $-Y^1-Y^2$ - is a radical of formula

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$$-N=CH-$$
 (a-1),

$$-CH=N-$$
 (a-2),

$$-CH_2-CH_2-$$
 (a-3),

$$-CH=CH-$$
 (a-4),

wherein in the bivalent radicals of formula (a-1) or (a-2) the hydrogen atom may optionally be replaced by C_{1-6} alkyl or phenyl; or in the bivalent radicals of formula (a-3) or (a-4) one or two hydrogen atoms may optionally be replaced by C_{1-6} alkyl or phenyl;

X¹ is carbon or nitrogen;

at least one of X^2 or X^3 represents nitrogen and the other X^2 or X^3 represents CH or carbon when the dotted line represents a bond, or both X^2 and X^3 represent nitrogen; R^1 is C_{1-6} alkyl;

aryl¹;

 C_{1-6} alkyl substituted with hydroxy, C_{3-6} cycloalkyl, aryl¹ or naphthalenyl;

C₃₋₆cycloalkyl;

25 C₃₋₆cycloalkenyl;

C₃₋₆alkenyl;

 C_{3-6} alkenyl substituted with aryl¹;

C₃₋₆alkynyl;

 C_{3-6} alkynyl substituted with aryl¹;

C₁₋₄alkyloxyC₁₋₄alkanediyl optionally substituted with aryl¹; or when -Y¹-Y²- is a radical of formula (a-1) than R¹ may be taken together with Y² to form a radical of formula -CH=CH-CH=CH- wherein each hydrogen may optionally be replaced by a substituent independently selected from C₁₋₄alkyl, C₁₋₄alkyloxy, polyhaloC₁₋₄alkyl, halo, cyano, trifluoromethyl or aryl¹; wherein aryl¹ is phenyl; or phenyl substituted with from one or five substituents

each independently selected from C_{1-4} alkyl, C_{1-4} alkyloxy, polyhaloC₁₋₄alkyl, halo, cyano, or trifluoromethyl; R² is hydrogen, C₁₋₄alkyl, or halo; A is C_{1-6} alkanediyl; C₁₋₆alkanediyl substituted with one or two groups selected from aryl², 5 heteroaryl¹ and C_{3-8} cycloalkyl; or provided X3 represents CH said radical A may also represent NH optionally substituted with aryl², heteroaryl¹ or C₃₋₈cycloalkyl; wherein aryl2 is phenyl; or phenyl substituted with from one to five substituents each independently selected from C₁₋₄alkyl, C₁₋₄alkyloxy, halo, cyano 10 or trifluoromethyl; heteroaryl1 is furanyl, thienyl, pyridinyl, pyrazinyl, pyrimidinyl, or pyridazinyl; and said heteroaryl1 is optionally substituted with one or two substituents each independently selected from C_{1-4} alkyl, C₁₋₄alkyloxy, halo, cyano or trifluoromethyl; 15 B is N^3R^4 , or OR^9 : wherein each R^3 and R^4 are independently selected from hydrogen, C_{1-8} alkyl, 20 C₁₋₈alkyl substituted with one, two or three substituents each independently from one another selected from hydroxy, halo, cyano, C₁₋₄alkyloxy, C₁₋₄alkyloxycarbonyl, C₃₋₈cycloalkyl, polyhaloC₁₋₄alkyl, NR⁵R⁶, CONR⁷R⁸, aryl³, polycyclic aryl, or heteroaryl²; 25 C₃₋₈cycloalkyl; C₃₋₈cycloalkenyl; C₃₋₈alkenyl; C₃₋₈alkynyl; $aryl^3$; 30 polycyclic aryl; heteroaryl²; or R³ and R⁴ combined with the nitrogen atom bearing R³ and R⁴ may form an azetidinyl, pyrrolidinyl, piperidinyl, morpholinyl, azepanyl, or azocanyl ring wherein each of these rings may optionally be substituted 35 by C₁₋₄alkyloxycarbonyl, C₁₋₄alkyloxycarbonylC₁₋₄alkyl, carbonylamino, C_{1-4} alkylcarbonylamino, $CONR^7R^8$ or

C₁₋₄alkylCONR⁷R⁸; wherein R^5 is hydrogen, C_{1-4} alkyl, aryl³, polycyclic aryl, or heteroaryl²; R^6 is hydrogen or C_{1-4} alkyl; R^7 is hydrogen, C_{1-4} alkyl or phenyl; 5 R^8 is hydrogen, C_{1-4} alkyl or phenyl; or R^9 is C_{1-6} alkyl, or C_{1-6} alkyl substituted with one, two or three substituents each independently from one another selected from hydroxy, halo, cyano, C₁₋₄alkyloxy, C₁₋₄alkyloxycarbonyl, C₃₋₈cycloalkyl, C₃₋₈cycloalkenyl, trifluoromethyl, NR⁵R⁶, CONR⁷R⁸, 10 aryl³, polycyclic aryl, or heteroaryl²; wherein aryl³ is phenyl; phenyl substituted with one to five substituents each independently selected from C_{1-4} alkyl, C_{1-4} alkyloxy, halo, hydroxy, trifluoromethyl, cyano, C₁₋₄alkyloxycarbonyl, 15 C_{1-4} alkyloxycarbonyl C_{1-4} alkyl, methylsulfonylamino, methylsulfonyl, NR⁵R⁶, C₁₋₄alkylNR⁵R⁶, CONR⁷R⁸ or C₁₋₄alkylCONR⁷R⁸; polycyclic aryl is naphthalenyl, indanyl, fluorenyl, or 1,2,3,4-tetrahydronaphtalenyl, and said polycyclic aryl is 20 optionally substituted with one or two substituents each independently selected from C_{1-6} alkyl, C_{1-6} alkyloxy, phenyl, halo, cyano, C₁₋₄alkylcarbonyl, C₁₋₄alkyloxycarbonyl, C₁₋₄alkyloxycarbonylC₁₋₄alkyl, NR⁵R⁶, C₁₋₄alkylNR⁵R⁶, CONR⁷R⁸, C₁₋₄alkylCONR⁷R⁸ or C₁₋₄alkyloxycarbonylamino 25 and heteroaryl² is pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, triazolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, pyrrolyl, furanyl, thienyl; quinolinyl; isoquinolinyl; 1,2,3,4tetrahydro-isoquinolinyl; benzothiazolyl; benzo[1,3]dioxolyl; 30 2,3-dihydro-benzo[1,4]dioxinyl; indolyl; 2,3-dihydro-1H-indolyl; 1H-benzoimidazolyl; and said heteroaryl² is optionally substituted with one or two substituents each independently selected from C₁₋₆alkyl, C₁₋₆alkyloxy, phenyl, halo, cyano, C₁₋₄alkylcarbonyl, C₁₋₄alkyloxycarbonyl, 35 C₁₋₄alkyloxycarbonylC₁₋₄alkyl, NR⁵R⁶, C₁₋₄alkylNR⁵R⁶,

CONR⁷R⁸ or C₁₋₄alkylCONR⁷R⁸.

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- 2. A compound as claimed in claim 1 wherein X^2 represents nitrogen and X^3 represents CH.
- 3. A compound as claimed in claim 1 wherein X² represents CH and X³ represents nitrogen.
 - 4. A compound as claimed in claim 1 wherein both X^2 and X^3 represent nitrogen.
- 5. A compound as claimed in any of claims 1 to 4 wherein radical A represents C_{1-6} alkanediyl substituted with aryl².
 - 6. A compound as claimed in any of claims 1 to 4 wherein radical B represents OR^9 wherein R^9 is C_{1-6} alkyl or NR^3R^4 wherein R^3 is hydrogen.
 - 7. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically active amount of a compound as claimed in any of claims 1 to 6.
- 8. A process for preparing a pharmaceutical composition as claimed in claim 7 wherein a therapeutically active amount of a compound as claimed in any of claims 1 to 6 is intimately mixed with a pharmaceutically acceptable carrier.
 - 9. A compound as claimed in any of claims 1 to 6 for use as a medicine.
- 25 10. A process for preparing a compound of formula (I) wherein
 - a) an intermediate of formula (II), wherein Y¹, Y² and R¹ are defined as in claim 1, is reacted with an intermediate of formula (III), wherein X¹, X², X³, R², A, and B are as defined in claim 1 and Q is selected from bromo, iodo and trifluoromethylsulfonate, in a reaction-inert solvent and optionally in the presence of at least one transition metal coupling reagent and/or at least one suitable catalyst such as palladium associated with triphenylphosphine, or triphenylarsine; or

b) or, compounds of formula (I) are converted into each other following art-known

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transformation reactions; or if desired; a compound of formula (I) is converted into a pharmaceutically acceptable acid addition salt, or conversely, an acid addition salt of a compound of formula (I) is converted into a free base form with alkali; and, if desired, preparing stereochemically isomeric forms thereof.

11. A compound of formula (IX)

HO-C-A-X³

$$X^2$$
 X^2
 X^1
 Y^1
 Y^2
 Y^1
 Y^2
 Y^2
 Y^3
 Y^4
 Y^2

the N-oxides, the pharmaceutically acceptable acid addition salts and the stereochemically isomeric forms thereof, wherein R^1 , R^2 , X^1 , X^2 , X^3 , Y^1 , Y^2 and A are as defined in claim 1.